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Research Triangle Park, NC 27709 (US). MCLEAN, Ed, Williams [US/US]; GlaxoSmithKline, Five Moore Drive, PO Box 13398, Research Triangle Park, NC 27709 (US). PECKHAM, Jennifer, Poole [US/US]; GlaxoSmithKline, Five Moore Drive, PO Box 13398, Research Triangle Park, NC 27709 (US). PERKINS, Angilique, C [US/US]; GlaxoSmithKline, Five Moore Drive, PO Box 13398, Research Triangle Park, NC 27709 (US). THOMPSON, James, Benjamin [US/US]; GlaxoSmithKline, Five Moore Drive, PO Box 13398, Research Triangle Park, NC 27709 (US). VANDERWALL, Dana [US/US]; GlaxoSmithKline, Five Moore Drive, PO Box 13398, Research Triangle Park, NC 27709 (US).

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(81) Designated States (national): **AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW.**

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*For two-letter codes and other abbreviations, refer to the "Guidance Notes on Codes and Abbreviations" appearing at the beginning of each regular issue of the PCT Gazette.*

(54) Title: **CCR5 ANTAGONISTS AS THERAPEUTIC AGENTS**

(57) Abstract: The present invention relates to compounds of formula (I) or pharmaceutically acceptable derivatives thereof, useful in the treatment or prophylaxis of CCR5-related diseases and disorders, for example, in the inhibition of HIV replication, the prevention or treatment of an HIV infection, and in the treatment of the resulting acquired immune deficiency syndrome (AIDS).

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PO Box 13398, Research Triangle Park, NC 27709 (US). PECKHAM, Jennifer, Poole [US/US]; GlaxoSmithKline, Five Moore Drive, PO Box 13398, Research Triangle Park, NC 27709 (US). PERKINS, Anglique, C [US/US]; GlaxoSmithKline, Five Moore Drive, PO Box 13398, Research Triangle Park, NC 27709 (US). THOMPSON, James, Benjamin [US/US]; GlaxoSmithKline, Five Moore Drive, PO Box 13398, Research Triangle Park, NC 27709 (US). VANDERWALL, Dana [US/US]; GlaxoSmithKline, Five Moore Drive, PO Box 13398, Research Triangle Park, NC 27709 (US).

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(84) Designated States (regional): ARIPO patent (BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW), Eurasian patent (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European patent (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR), OAPI patent (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG).

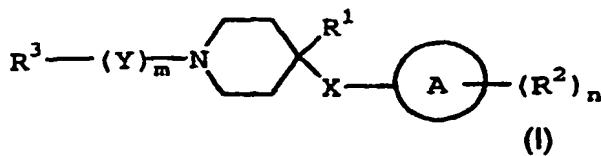
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For two-letter codes and other abbreviations, refer to the "Guidance Notes on Codes and Abbreviations" appearing at the beginning of each regular issue of the PCT Gazette.

(54) Title: PIPERIDINE DERIVATIVES AS CCR5 ANTAGONISTS



(57) Abstract: The present invention relates to compounds of formula (I) or pharmaceutically acceptable derivatives thereof, useful in the treatment or prophylaxis of CCR5-related diseases and disorders, for example, in the inhibition of HIV replication, the prevention or treatment of an HIV infection, and in the treatment of the resulting acquired immune deficiency syndrome (AIDS).

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## INTERNATIONAL SEARCH REPORT

International Application No  
PCT/US 03/39644A. CLASSIFICATION OF SUBJECT MATTER  
IPC 7 A61K31/46 A61K31/445 C07D451/04 A61P31/18

According to International Patent Classification (IPC) or to both national classification and IPC

## B. FIELDS SEARCHED

Minimum documentation searched (classification system followed by classification symbols)  
IPC 7 C07D

Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched

Electronic data base consulted during the International search (name of data base and, where practical, search terms used)

EPO-Internal, WPI Data, PAJ, CHEM ABS Data, BEILSTEIN Data

## C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
Y	WO 99/04794 A (OATES BRYAN ; FINKE PAUL E (US); MACCOSS MALCOLM (US); MERCK & CO INC) 4 February 1999 (1999-02-04) page 103, line 12 - line 17; claims 1,15,18,20 -----	1-61
Y	WO 00/38680 A (EDWARDS MARTIN PAUL ; PRICE DAVID ANTHONY (GB); PFIZER LTD (GB); WOOD) 6 July 2000 (2000-07-06) page 27, line 10; claims 1,2,5-20 -----	1-61
A	WO 02/079190 A (COOPER DAVID GWYN ; FORBES IAN THOMSON (GB); GRIBBLE ANDREW DERRICK (G) 10 October 2002 (2002-10-10) page 12, line 1 - line 3; claim 1; table 1 -----	1-61



Further documents are listed in the continuation of box C.



Patent family members are listed in annex.

## \* Special categories of cited documents :

- \*A\* document defining the general state of the art which is not considered to be of particular relevance
- \*E\* earlier document but published on or after the International filing date
- \*L\* document which may throw doubts on priority claim(s) or which is cited to establish the publication date of another citation or other special reason (as specified)
- \*O\* document referring to an oral disclosure, use, exhibition or other means
- \*P\* document published prior to the international filing date but later than the priority date claimed

- \*T\* later document published after the International filing date or priority date and not in conflict with the application but cited to understand the principle or theory underlying the invention
- \*X\* document of particular relevance; the claimed invention cannot be considered novel or cannot be considered to involve an inventive step when the document is taken alone
- \*Y\* document of particular relevance; the claimed invention cannot be considered to involve an inventive step when the document is combined with one or more other such documents, such combination being obvious to a person skilled in the art.
- \*&\* document member of the same patent family

Date of the actual completion of the international search

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## INTERNATIONAL SEARCH REPORT

International Application No  
PCT/US 03/39644

## C.(Continuation) DOCUMENTS CONSIDERED TO BE RELEVANT

Category	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X	WO 98/25605 A (SPRINGER MARTIN S ; MACCOSS MALCOLM (US); MERCK & CO INC (US); MILLS S) 18 June 1998 (1998-06-18) page 61, line 5 - line 11; claims 1,11 page 16 - page 34 -----	1-61
X	US 5 340 822 A (EMONDS-ALT XAVIER ET AL) 23 August 1994 (1994-08-23)  column 1, line 11 - line 24; claim 1; examples 22-24 -----	1-23, 25-28, 52-54, 59,60
X	BE 601 228 A (JANSSEN PHARMACEUTICA) 1961  page 2, line 23 - line 27; claim 1 page 9, line 10 - page 28, line 10 -----	1-23, 25-28,54
X	US 2 773 870 A (BILL ELPERN) 11 December 1956 (1956-12-11) column 1, line 20 - line 61 examples -----	1-23, 25-28,54
X	US 3 539 580 A (HERMANS HUBERT KAREL FRANS ET AL) 10 November 1970 (1970-11-10) column 1, line 13 - line 15; claims 1,5-7 table -----	1-23, 25-28,54
X	US 3 334 106 A (BIEL JOHN H) 1 August 1967 (1967-08-01) the whole document -----	1-23, 25-28,54
X	REHSE K ET AL: "Platelet aggregation inhibiting and anticoagulant effects of oligoamines, 1: N-(4-piperidinyl)methanamines" ARCHIV DER PHARMAZIE, VCH VERLAGSGESELLSCHAFT MBH, WEINHEIM, DE, vol. 319, no. 319, 1986, pages 505-515, XP001085385 ISSN: 0365-6233 the whole document -----	1,25-28, 52-54, 59,60
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		-/-

## INTERNATIONAL SEARCH REPORT

International Application No  
PCT/US 03/39644

## C.(Continuation) DOCUMENTS CONSIDERED TO BE RELEVANT

Category	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X	US 2 248 018 A (OTTO EISLEB) 1 July 1941 (1941-07-01) column 1, line 52 – line 55; claim 6; examples 3,4 -----	1,25-28, 54
X	WO 98/25604 A (SPRINGER MARTIN S ; MACCOSS MALCOLM (US); MERCK & CO INC (US); MILLS S) 18 June 1998 (1998-06-18) page 30, line 26 – line 30 page 34, line 1 – line 22 page 55 – page 85; claim 1 -----	1,7-12, 20,25-61
X	DATABASE WPI Section Ch, Week 200335 Derwent Publications Ltd., London, GB; Class B02, AN 2003-367209 XP002283279 -& JP 2002 348288 A (ONO PHARM CO LTD) 4 December 2002 (2002-12-04) abstract -----	1,7-12, 20,25-61

## INTERNATIONAL SEARCH REPORT

International application No.  
PCT/US 03/39644

### Box I Observations where certain claims were found unsearchable (Continuation of item 1 of first sheet)

This International Search Report has not been established in respect of certain claims under Article 17(2)(a) for the following reasons:

1.  Claims Nos.: because they relate to subject matter not required to be searched by this Authority, namely:  
Although claims 42–53 are directed to a method of treatment of the human/animal body, the search has been carried out and based on the alleged effects of the compound/composition.
2.  Claims Nos.: — because they relate to parts of the International Application that do not comply with the prescribed requirements to such an extent that no meaningful International Search can be carried out, specifically:  
see FURTHER INFORMATION sheet PCT/ISA/210
3.  Claims Nos.: because they are dependent claims and are not drafted in accordance with the second and third sentences of Rule 6.4(a).

### Box II Observations where unity of invention is lacking (Continuation of item 2 of first sheet)

This International Searching Authority found multiple inventions in this International application, as follows:

see additional sheet

1.  As all required additional search fees were timely paid by the applicant, this International Search Report covers all searchable claims.
2.  As all searchable claims could be searched without effort justifying an additional fee, this Authority did not invite payment of any additional fee.
3.  As only some of the required additional search fees were timely paid by the applicant, this International Search Report covers only those claims for which fees were paid, specifically claims Nos.:
4.  No required additional search fees were timely paid by the applicant. Consequently, this International Search Report is restricted to the invention first mentioned in the claims; it is covered by claims Nos.:

#### Remark on Protest

The additional search fees were accompanied by the applicant's protest.

No protest accompanied the payment of additional search fees.

**FURTHER INFORMATION CONTINUED FROM PCT/ISA/ 210**

**Continuation of Box I.1**

Although claims 42-53 are directed to a method of treatment of the human/animal body, the search has been carried out and based on the alleged effects of the compound/composition.

**Continuation of Box I.2**

Claims Nos.: -

The initial phase of the search revealed a very large number of documents relevant to the issue of novelty. So many documents were retrieved that it is impossible to determine which parts of the claims may be said to define subject-matter for which protection might legitimately be sought (Article 6 PCT). For these reasons, a meaningful search over the whole breadth of the claims is impossible. Consequently, the search has been restricted to compounds according to claim 3 for invention 1. For invention 2, a selection of novelty-destroying documents disclosing spiro fused CCR5 modulators have been cited.

In addition, the present claims do not meet the requirements of Article 6 PCT in that the matter for which protection is sought is not clearly defined. The term "pharmaceutically acceptable derivative" does not enable the skilled person to determine which technical features are necessary to perform the stated function. It is thus unclear which specific compounds fall within the scope of said claim. A lack of clarity within the meaning of Article 6 PCT arises to such an extent as to render a meaningful search of the claims impossible. Consequently, the search does not include "pharmaceutically acceptable derivatives" of the compounds of formula I.

The applicant's attention is drawn to the fact that claims relating to inventions in respect of which no international search report has been established need not be the subject of an international preliminary examination (Rule 66.1(e) PCT). The applicant is advised that the EPO policy when acting as an International Preliminary Examining Authority is normally not to carry out a preliminary examination on matter which has not been searched. This is the case irrespective of whether or not the claims are amended following receipt of the search report or during any Chapter II procedure. If the application proceeds into the regional phase before the EPO, the applicant is reminded that a search may be carried out during examination before the EPO (see EPO Guideline C-VI, 8.5), should the problems which led to the Article 17(2) declaration be overcome.

## INTERNATIONAL SEARCH REPORT

Information on patent family members

International Application No

PCT/US 03/39644

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